

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 2

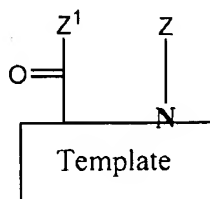
Amendments to the Claims:

This claim listing replaces all prior versions, and listings of claims in the application.

Please amend the claims as follows:

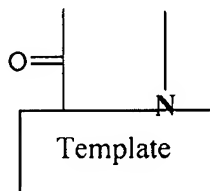
1-39. (Canceled)

40. (Currently amended) Compounds of the general formula

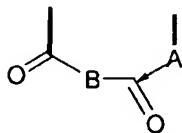


(I)

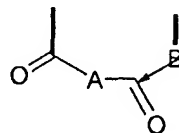
wherein



is a group of one of the formulae



(a1)



(a2)

Applicants: Zumbrunn et al.

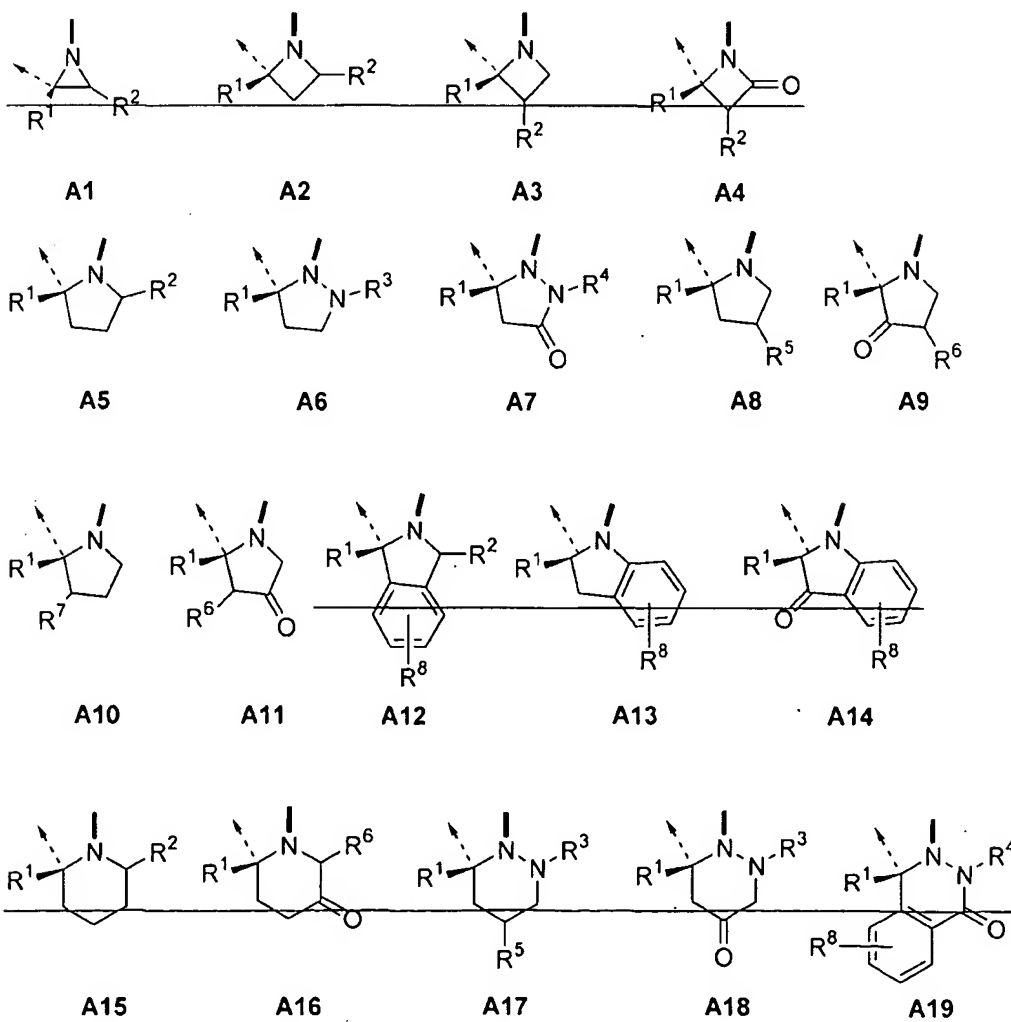
Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 3



is a group of one of the formulae

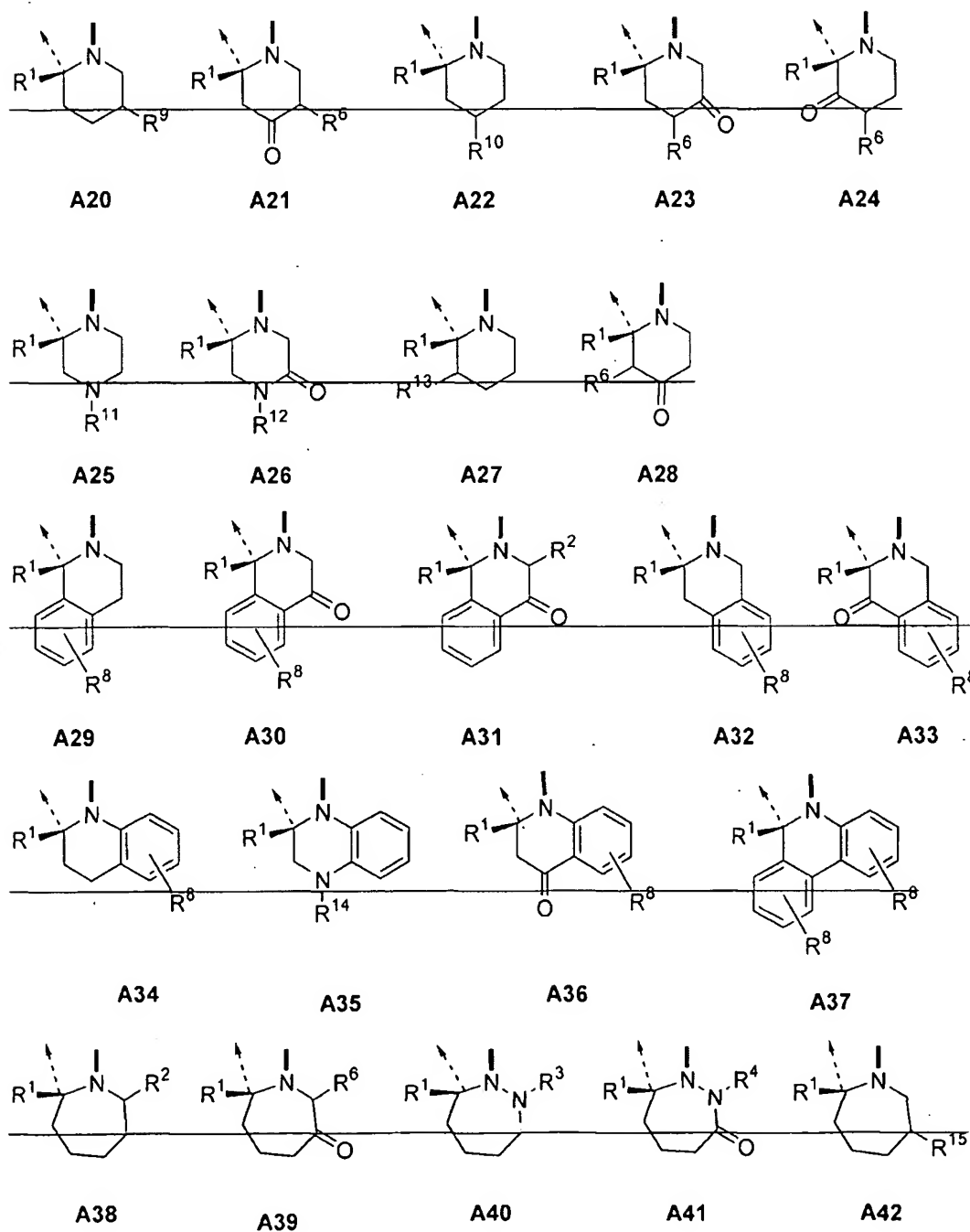


Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 4

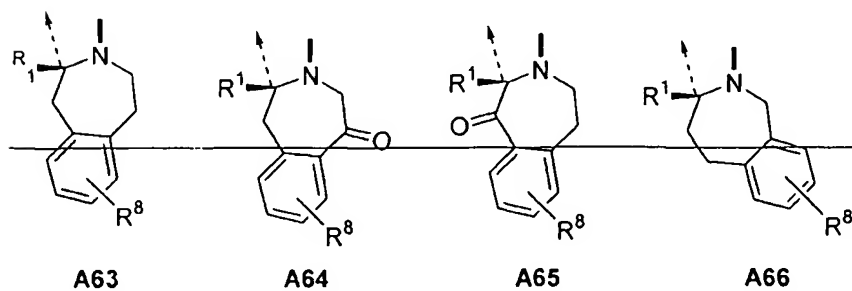
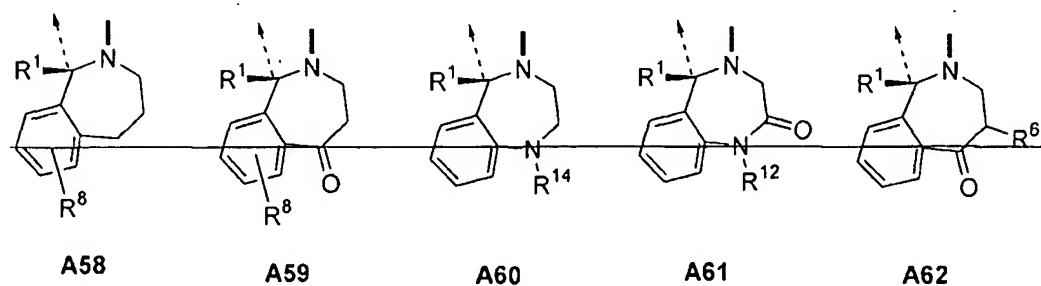
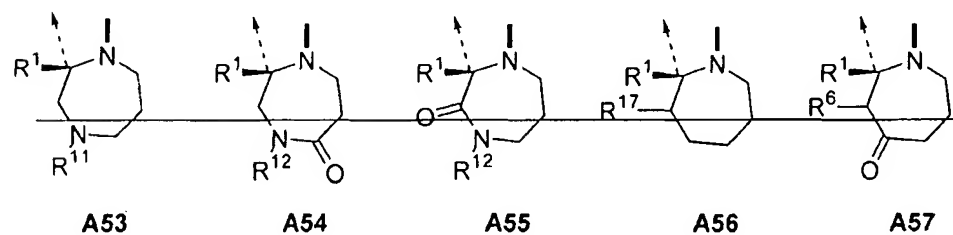
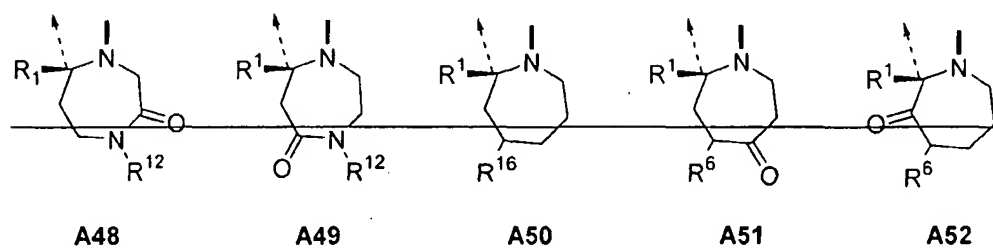
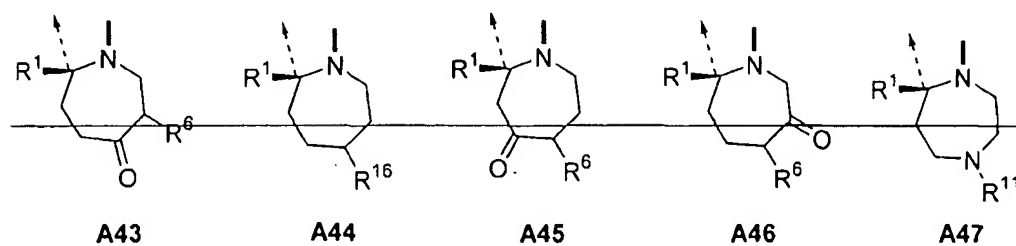


Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 5

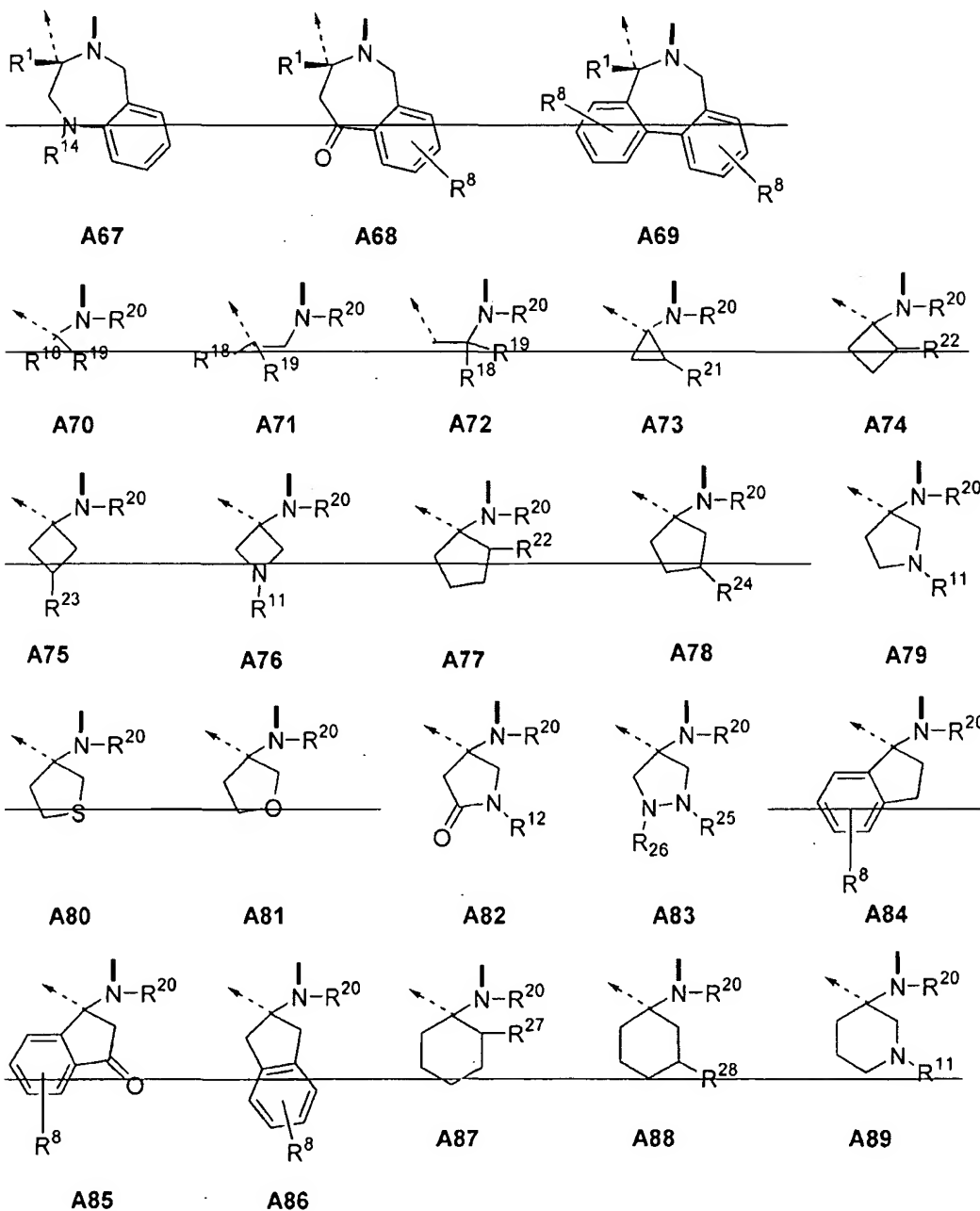


Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 6

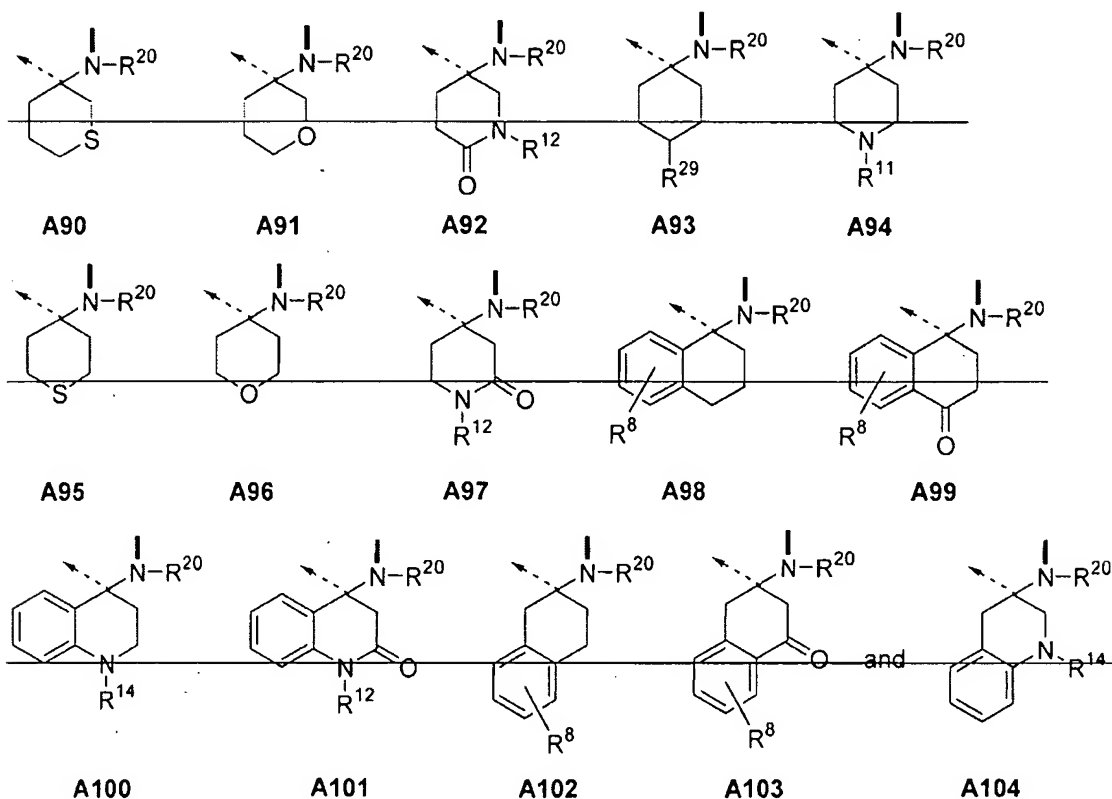


Applicants: Zumbrunn et al.

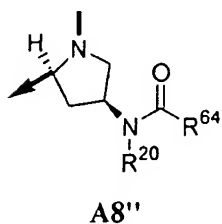
Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 7



-B-CO- is Asn; Cys; Gln; His; Met; Phe; Pro; Ser; Thr; Trp; Tyr; Sar; 4AmPhe; 3AmPhe; 2AmPhe; Phe(mC(NH₂)=NH); Phe(pC(NH₂)=NH); Phe(mNHC(NH₂)=NH); Phe(pNHC(NH₂)=NH); Phg; Cha; C₄al; C₅al; 2-Nal; 1-Nal; 4Cl-Phe; 3Cl-Phe; 2Cl-Phe; 3,4Cl₂Phe; 4F-Phe; 3F-Phe; 2F-Phe; Tic; Thi; Tza; Mso; Y(Bzl); Bip; S(Bzl); T(Bzl); hCha; hCys; hSer; hPhe; Bpa; Pip; OctG; MePhe; MeNle; MeAla; MeIle; MeVal; or MeLeu; or B is a group, having (L)-configuration, of formula



wherein R^{20} is H; or lower alkyl; and R^{64} is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl;

R^1 is hydrogen or lower alkyl;

R^2 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;

$-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are

$-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);

$-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;

$-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);

$(CH_2)_oN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);

$(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are

$-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or

$-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or

$(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

R^3 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);

$-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$;

$-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);

$-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33}

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 9

and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$;

$-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_oN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$;

$-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

R^4 is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$;

$-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$;

$-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl);

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 10

$-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

R^5 is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);

$-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; - $(CH_2)_2O(CH_2)_2$;

$-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are

$-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; - $(CH_2)_2O(CH_2)_2$;

$-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is alkyl; alkenyl; aryl; aryl-lower alkyl; or heteroaryl-lower alkyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);

$-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

R^6 is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; - $(CH_2)_2O(CH_2)_2$;

$-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6OCONR^{33}R^{75}$

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 11

(where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are

$-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_oNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; -

$(CH_2)_2O(CH_2)_2-$;

$-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_oN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_oCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_oCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; - $(CH_2)_2S(CH_2)_2-$; or

$-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_oPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -

$(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

R^7 is lower alkyl; lower alkenyl; $-(CH_2)_qOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);

$-(CH_2)_qSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_qNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; - $(CH_2)_2O(CH_2)_2-$;

$-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_qOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are

$-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_qNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$; -

$(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); -

$(CH_2)_qN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); -

$(CH_2)_rCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_qCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are -

$(\text{CH}_2)_{2-6-}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_{2-}$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_{2-}$; or
 $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_{2-}$; where R^{57} is H; or lower alkyl; $-(\text{CH}_2)_r\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_r\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or -
 $(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; $-(\text{CH}_2)_o\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{SR}^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{NR}^{33}\text{R}^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(\text{CH}_2)_{2-6-}$;
 $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_{2-}$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_{2-}$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_{2-}$; where R^{57} is H; or lower alkyl);
 $-(\text{CH}_2)_o\text{OCONR}^{33}\text{R}^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(\text{CH}_2)_{2-6-}$; $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_{2-}$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_{2-}$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_{2-}$;
where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_o\text{NR}^{20}\text{CONR}^{33}\text{R}^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are -
 $(\text{CH}_2)_{2-6-}$;
 $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_{2-}$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_{2-}$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_{2-}$; where R^{57} is H; or lower alkyl);
 $-(\text{CH}_2)_o\text{N}(\text{R}^{20})\text{COR}^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
 $-(\text{CH}_2)_o\text{COOR}^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{CONR}^{58}\text{R}^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are -
 $(\text{CH}_2)_{2-6-}$;
 $-(\text{CH}_2)_2\text{O}(\text{CH}_2)_{2-}$; $-(\text{CH}_2)_2\text{S}(\text{CH}_2)_{2-}$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_{2-}$; where R^{57} is H; or lower alkyl);
 $-(\text{CH}_2)_o\text{PO}(\text{OR}^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{SO}_2\text{R}^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(\text{CH}_2)_q\text{C}_6\text{H}_4\text{R}^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);
 ~~R^9 is lower alkyl; lower alkenyl; $-(\text{CH}_2)_o\text{OR}^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);~~
 ~~$-(\text{CH}_2)_o\text{SR}^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(\text{CH}_2)_o\text{NR}^{33}\text{R}^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(\text{CH}_2)_{2-6-}$;~~
 ~~$(\text{CH}_2)_2\text{O}(\text{CH}_2)_{2-}$;~~
 ~~$-(\text{CH}_2)_2\text{S}(\text{CH}_2)_{2-}$; or $-(\text{CH}_2)_2\text{NR}^{57}(\text{CH}_2)_{2-}$; where R^{57} is H; or lower alkyl); $-(\text{CH}_2)_o\text{OCONR}^{33}\text{R}^{75}$~~

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 13

(where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are

~~$(CH_2)_{2-6}$; $(CH_2)_2O(CH_2)_2$; $(CH_2)_2S(CH_2)_2$; or $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl; $(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $(CH_2)_{2-6}$; or~~

~~$(CH_2)_2O(CH_2)_2$;~~

~~$(CH_2)_2S(CH_2)_2$; or $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);~~

~~$(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);~~

~~$(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are~~

~~$(CH_2)_{2-6}$; $(CH_2)_2O(CH_2)_2$; $(CH_2)_2S(CH_2)_2$; or~~

~~$(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or~~

~~$(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);~~

~~R^{10} is lower alkyl; lower alkenyl; $(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl);~~

~~$(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $(CH_2)_{2-6}$; or~~

~~$(CH_2)_2O(CH_2)_2$;~~

~~$(CH_2)_2S(CH_2)_2$; or $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are~~

~~$(CH_2)_{2-6}$; $(CH_2)_2O(CH_2)_2$; $(CH_2)_2S(CH_2)_2$; or $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $(CH_2)_{2-6}$; or~~

~~$(CH_2)_2O(CH_2)_2$;~~

~~$(CH_2)_2S(CH_2)_2$; or $(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl);~~

~~$(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);~~

~~$(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is~~

lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl; $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_6C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); R^{11} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_6C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); R^{12} is H; lower alkyl; lower alkenyl; $-(CH_2)_mOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_mSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_mNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33}

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 15

and R^{75} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$;

$-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_rCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_rCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$;

$-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_rPO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_oSO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

~~R^{13} is lower alkyl; lower alkenyl; $-(CH_2)_qOR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_qSR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_qNR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$;~~

~~$-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_qOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are~~

~~$-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_qNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$;~~

~~$-(CH_2)_2O(CH_2)_2-$;~~

~~$-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl); $-(CH_2)_qN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_rCOOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_qCONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; or lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}-$;~~

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 16

~~(CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl);~~
~~(CH₂)₂PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); (CH₂)₂SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or (CH₂)₄C₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);~~
R¹⁴ is H; lower alkyl; lower alkenyl; (CH₂)_mOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);
~~(CH₂)_mSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); (CH₂)_mNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are (CH₂)₂₋₆;~~
~~(CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl);~~
~~(CH₂)_mOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂;~~
~~; where R⁵⁷ is H; or lower alkyl); (CH₂)_mNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are (CH₂)₂₋₆;~~
~~(CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl);~~
~~(CH₂)_mN(R²⁰)COR⁶⁴ (where R²⁰ is H; lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl);~~
~~(CH₂)₆COOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); (CH₂)₆CONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are (CH₂)₂₋₆;~~
~~(CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl);~~
~~(CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); (CH₂)₆SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or (CH₂)₄C₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);~~
R¹⁵ is lower alkyl; lower alkenyl; (CH₂)₆OR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);
~~(CH₂)₆SR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); (CH₂)₆NR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are (CH₂)₂₋₆;~~
~~(CH₂)₂O(CH₂)₂;~~
~~(CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl);~~
~~(CH₂)₆OCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken~~

together are

~~-(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆NR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆N(R²⁰)COR⁶⁴ (where R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -NR²⁰CO lower alkyl (R²⁰=H; or lower alkyl); being particularly favoured; -(CH₂)₆COOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)₆CONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)₆SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or (CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy); R¹⁶ is lower alkyl; lower alkenyl; -(CH₂)₆OR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)₆SR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)₆NR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆OCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are~~

~~-(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆NR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆N(R²⁰)COR⁶⁴ (where R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)₆COOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)₆CONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl;~~

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 18

and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are ~~(CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or~~
~~(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); (CH₂)₆SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or~~
~~(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy); and~~
~~R¹⁷ is lower alkyl; lower alkenyl; (CH₂)_qOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);~~
~~(CH₂)_qSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); (CH₂)_qNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)_qOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are~~
~~(CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)_qNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)_qN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); (CH₂)_rCOOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); (CH₂)_qCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)_rPO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); (CH₂)_qSO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or (CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);~~
R²⁰ is H; or lower alkyl;
R¹⁸ is lower alkyl;
R¹⁹ is lower alkyl; lower alkenyl; (CH₂)_pOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);
(CH₂)_pSR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); (CH₂)_pNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are (CH₂)₂₋₆; or

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 19

~~(CH₂)₂O(CH₂)₂;~~

~~-(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)_pOCONR³³R⁷⁵
(where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken
together are~~

~~-(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower
alkyl); -(CH₂)_pNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or
lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆;~~

~~(CH₂)₂O(CH₂)₂;~~

~~-(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)_pN(R²⁰)COR⁶⁴
(where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)_pCOOR⁵⁷ (where
R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)_pCONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower
alkenyl; and R⁵⁹ is H; or lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆;~~

~~(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl);~~

~~(CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)_pSO₂R⁶² (where R⁶² is
lower alkyl; or lower alkenyl); or (CH₂)₆C₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower
alkenyl; or lower alkoxy);~~

~~R²¹ is H; lower alkyl; lower alkenyl; -(CH₂)₆OR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl);~~

~~-(CH₂)₆SR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); -(CH₂)₆NR³³R³⁴ (where R³³ is lower
alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆;~~

~~(CH₂)₂O(CH₂)₂;~~

~~-(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆OCONR³³R⁷⁵
(where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken
together are~~

~~-(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂; -(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower
alkyl);~~

~~-(CH₂)₆NR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower
alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆; -(CH₂)₂O(CH₂)₂;~~

~~-(CH₂)₂S(CH₂)₂; or -(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆N(R²⁰)COR⁶⁴~~

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 20

(where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); R^{22} is lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6N(R^{20})COR^{64}$ (where R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_qC_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); R^{23} is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; or

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 21

~~(CH₂)₂O(CH₂)₂;~~

~~-(CH₂)₂S(CH₂)₂;~~ or ~~-(CH₂)₂NR⁵⁷(CH₂)₂;~~ where R⁵⁷ is H; or lower alkyl); ~~-(CH₂)₆OCONR³³R⁷⁵~~
(where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken
together are

~~-(CH₂)₂₋₆;~~ ~~-(CH₂)₂O(CH₂)₂;~~ ~~-(CH₂)₂S(CH₂)₂;~~ or ~~-(CH₂)₂NR⁵⁷(CH₂)₂;~~ where R⁵⁷ is H; or lower
alkyl); ~~-(CH₂)₆NR²⁰CONR³³R⁸²~~ (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or
lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are ~~-(CH₂)₂₋₆;~~

~~(CH₂)₂O(CH₂)₂;~~

~~-(CH₂)₂S(CH₂)₂;~~ or ~~-(CH₂)₂NR⁵⁷(CH₂)₂;~~ where R⁵⁷ is H; or lower alkyl); ~~-(CH₂)₆N(R²⁰)COR⁶⁴~~
(where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); ~~NR²⁰CO~~ lower alkyl
(R²⁰=H; or lower alkyl) being particularly favoured; ~~-(CH₂)₆COOR⁵⁷~~ (where R⁵⁷ is lower alkyl;
or lower alkenyl); ~~-(CH₂)₆CONR⁵⁸R⁵⁹~~ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H;
lower alkyl; or R⁵⁸ and R⁵⁹ taken together are ~~-(CH₂)₂₋₆;~~ ~~-(CH₂)₂O(CH₂)₂;~~ ~~-(CH₂)₂S(CH₂)₂;~~ or
~~-(CH₂)₂NR⁵⁷(CH₂)₂;~~ where R⁵⁷ is H; or lower alkyl); ~~-(CH₂)₆PO(OR⁶⁰)₂~~ (where R⁶⁰ is lower
alkyl; or lower alkenyl);

~~-(CH₂)₆SO₂R⁶²~~ (where R⁶² is lower alkyl; or lower alkenyl); or ~~-(CH₂)_qC₆H₄R⁸~~ (where R⁸ is H; F;
Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);

R²⁴ is lower alkyl; lower alkenyl; ~~-(CH₂)₆OR⁵⁵~~ (where R⁵⁵ is lower alkyl; or lower alkenyl);

~~-(CH₂)₆SR⁵⁶~~ (where R⁵⁶ is lower alkyl; or lower alkenyl); ~~-(CH₂)₆NR³³R³⁴~~ (where R³³ is lower
alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are ~~-(CH₂)₂₋₆;~~

~~(CH₂)₂O(CH₂)₂;~~

~~-(CH₂)₂S(CH₂)₂;~~ or ~~-(CH₂)₂NR⁵⁷(CH₂)₂;~~ where R⁵⁷ is H; or lower alkyl); ~~-(CH₂)₆OCONR³³R⁷⁵~~
(where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken
together are

~~-(CH₂)₂₋₆;~~ ~~-(CH₂)₂O(CH₂)₂;~~ ~~-(CH₂)₂S(CH₂)₂;~~ or ~~-(CH₂)₂NR⁵⁷(CH₂)₂;~~ where R⁵⁷ is H; or lower
alkyl); ~~-(CH₂)₆NR²⁰CONR³³R⁸²~~ (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or
lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are ~~-(CH₂)₂₋₆;~~

~~(CH₂)₂O(CH₂)₂;~~

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 22

~~-(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆N(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); NR²⁰COlower alkyl (R²⁰=H; or lower alkyl) being particularly favoured; -(CH₂)₆COOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -(CH₂)₆CONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl);~~

~~-(CH₂)₆SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);~~

R²⁵ is H; lower alkyl; lower alkenyl; -(CH₂)_mOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_mNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mOCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or

~~-(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mNR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl); -(CH₂)_mN(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); -(CH₂)₆COOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); -~~

~~(CH₂)₆CONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or -(CH₂)₂NR⁵⁷(CH₂)₂-; where R⁵⁷ is H; or lower alkyl);~~

~~-(CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); -(CH₂)₆SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or -(CH₂)_qC₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy);~~

R²⁶ is H; lower alkyl; lower alkenyl; -(CH₂)_mOR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); -(CH₂)_mNR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 23

R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl; $-(CH_2)_mOCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mNR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_mN(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl); $-(CH_2)_6COOR^{57}$ (where R^{57} is lower alkyl; or lower alkenyl); $-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58} and R^{59} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl); $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_6C_6H_4R^8$ (where R^8 is H; F; Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy); or, alternatively, R^{25} and R^{26} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{34}(CH_2)_2$; R^{27} is H; lower alkyl; lower alkenyl; $-(CH_2)_6OR^{55}$ (where R^{55} is lower alkyl; or lower alkenyl); $-(CH_2)_6SR^{56}$ (where R^{56} is lower alkyl; or lower alkenyl); $-(CH_2)_6NR^{33}R^{34}$ (where R^{33} is lower alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6OCONR^{33}R^{75}$ (where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$; $-(CH_2)_2S(CH_2)_2$; or $-(CH_2)_2NR^{57}(CH_2)_2$; where R^{57} is H; or lower alkyl); $-(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}$; $-(CH_2)_2O(CH_2)_2$;

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 24

~~(CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)₆N(R²⁰)COR⁶⁴ (where R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); (CH₂)₆COOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); (CH₂)₆CONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or~~
~~(CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); (CH₂)₆SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or (CH₂)₆C₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy); R²⁸ is lower alkyl; lower alkenyl; (CH₂)₆OR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); (CH₂)₆SR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); (CH₂)₆NR³³R³⁴ (where R³³ is lower alkyl; or lower alkenyl; R³⁴ is H; or lower alkyl; or R³³ and R³⁴ taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂;~~
~~(CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)₆OCONR³³R⁷⁵ (where R³³ is H; or lower alkyl; or lower alkenyl; R⁷⁵ is lower alkyl; or R³³ and R⁷⁵ taken together are~~
~~(CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)₆NR²⁰CONR³³R⁸² (where R²⁰ is H; or lower alkyl; R³³ is H; or lower alkyl; or lower alkenyl; R⁸² is H; or lower alkyl; or R³³ and R⁸² taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)₆N(R²⁰)COR⁶⁴ (where: R²⁰ is H; or lower alkyl; R⁶⁴ is lower alkyl; or lower alkenyl); (CH₂)₆COOR⁵⁷ (where R⁵⁷ is lower alkyl; or lower alkenyl); (CH₂)₆CONR⁵⁸R⁵⁹ (where R⁵⁸ is lower alkyl; or lower alkenyl; and R⁵⁹ is H; lower alkyl; or R⁵⁸ and R⁵⁹ taken together are (CH₂)₂₋₆; (CH₂)₂O(CH₂)₂; (CH₂)₂S(CH₂)₂; or (CH₂)₂NR⁵⁷(CH₂)₂; where R⁵⁷ is H; or lower alkyl); (CH₂)₆PO(OR⁶⁰)₂ (where R⁶⁰ is lower alkyl; or lower alkenyl); (CH₂)₆SO₂R⁶² (where R⁶² is lower alkyl; or lower alkenyl); or (CH₂)₆C₆H₄R⁸ (where R⁸ is H; F; Cl; CF₃; lower alkyl; lower alkenyl; or lower alkoxy); and R²⁹ is lower alkyl; lower alkenyl; (CH₂)₆OR⁵⁵ (where R⁵⁵ is lower alkyl; or lower alkenyl); (CH₂)₆SR⁵⁶ (where R⁵⁶ is lower alkyl; or lower alkenyl); (CH₂)₆NR³³R³⁴ (where R³³ is lower~~

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 25

alkyl; or lower alkenyl; R^{34} is H; or lower alkyl; or R^{33} and R^{34} taken together are $-(CH_2)_{2-6}-$;
 $(CH_2)_2O(CH_2)_2-$;

$-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $(CH_2)_6OCONR^{33}R^{75}$
(where R^{33} is H; or lower alkyl; or lower alkenyl; R^{75} is lower alkyl; or R^{33} and R^{75} taken
together are

$-(CH_2)_{2-6}-$; $(CH_2)_2O(CH_2)_2-$; $(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower
alkyl; $(CH_2)_6NR^{20}CONR^{33}R^{82}$ (where R^{20} is H; or lower alkyl; R^{33} is H; or lower alkyl; or
lower alkenyl; R^{82} is H; or lower alkyl; or R^{33} and R^{82} taken together are $-(CH_2)_{2-6}-$;
 $(CH_2)_2O(CH_2)_2-$; $(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$; where R^{57} is H; or lower alkyl; $-(CH_2)_6N(R^{20})COR^{64}$ (where: R^{20} is H; or lower alkyl; R^{64} is lower alkyl; or lower alkenyl);
 $NR^{20}CO$ lower alkyl (R^{20} = H; or lower alkyl) being particularly favoured; $-(CH_2)_6COOR^{57}$ (where
 R^{57} is lower alkyl; or lower alkenyl);

$-(CH_2)_6CONR^{58}R^{59}$ (where R^{58} is lower alkyl; or lower alkenyl; and R^{59} is H; lower alkyl; or R^{58}
and R^{59} taken together are $-(CH_2)_{2-6}-$; $(CH_2)_2O(CH_2)_2-$; $(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;
where R^{57} is H; or lower alkyl; $-(CH_2)_6PO(OR^{60})_2$ (where R^{60} is lower alkyl; or lower alkenyl);
 $-(CH_2)_6SO_2R^{62}$ (where R^{62} is lower alkyl; or lower alkenyl); or $-(CH_2)_6C_6H_4R^8$ (where R^8 is H; F;
Cl; CF_3 ; lower alkyl; lower alkenyl; or lower alkoxy);

R^{33} is H; alkyl, alkenyl; $-(CH_2)_m(CHR^{61})_sOR^{55}$; $-(CH_2)_m(CHR^{61})_sNR^{34}R^{63}$;

$-(CH_2)_m(CHR^{61})_sOCONR^{75}R^{82}$; $-(CH_2)_m(CHR^{61})_sNR^{20}CONR^{78}R^{82}$;

$-(CH_2)_0(CHR^{61})_sCOR^{64}$; $-(CH_2)_0(CHR^{61})_sCONR^{58}R^{59}$; $-(CH_2)_0(CHR^{61})_sPO(OR^{60})_2$;

$-(CH_2)_0(CHR^{61})_sSO_2R^{62}$; or $-(CH_2)_0(CHR^{61})_sC_6H_4R^8$;

R^{34} is H; lower alkyl; aryl, or aryl-lower alkyl;

R^{33} and R^{34} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or

$-(CH_2)_2NR^{57}(CH_2)_2-$;

R^{50} is H; lower alkyl; or aryl-lower alkyl;

R^{57} is H; lower alkyl; lower alkenyl; aryl lower alkyl; or heteroaryl lower alkyl;

R^{58} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower
alkyl;

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 26

R^{59} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; or heteroaryl-lower alkyl; or

R^{58} and R^{59} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;

R^{60} is H; lower alkyl; lower alkenyl; aryl; or aryl-lower alkyl;

R^{61} is alkyl; alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl; $-(CH_2)_mOR^{55}$; $-(CH_2)_mNR^{33}R^{34}$; $-(CH_2)_mOCONR^{75}R^{82}$; $-(CH_2)_mNR^{20}CONR^{78}R^{82}$; $-(CH_2)_oCOOR^{37}$; $-(CH_2)_oNR^{58}R^{59}$; or $-(CH_2)_oPO(COR^{60})_2$;

R^{62} is lower alkyl; lower alkenyl; aryl, heteroaryl; or aryl-lower alkyl;

R^{64} is H; lower alkyl; lower alkenyl; aryl; heteroaryl; aryl-lower alkyl; heteroaryl-lower alkyl; $-(CH_2)_p(CHR^{61})_sOR^{65}$; $-(CH_2)_p(CHR^{61})_sSR^{66}$; or $-(CH_2)_p(CHR^{61})_sNR^{34}R^{63}$; $-(CH_2)_p(CHR^{61})_sOCONR^{75}R^{82}$; $-(CH_2)_p(CHR^{61})_sNR^{20}CONR^{78}R^{82}$;

Z and Z^1 are chains of n and, respectively, n' α -amino acid residues whereby either n is 4 and n' is 6 or n is 5 and n' is 7, the positions of said amino acid residues in said chain Z being counted starting from the N-terminal amino acid and the positions of said amino acid residues in said chain Z^1 being counted starting from the C-terminal amino acid, whereby these amino acid residues are, depending on their position in the chains, Gly, or Pro, or of one of the types

C: $-NR^{20}CH(R^{72})CO-$;

D: $-NR^{20}CH(R^{73})CO-$;

E: $-NR^{20}CH(R^{74})CO-$;

F: $-NR^{20}CH(R^{84})CO-$; and

H: $-NR^{20}-CH(CO-)-(CH_2)_{4-7}-CH(CO-)-NR^{20}-$;

$-NR^{20}-CH(CO-)-(CH_2)_pSS(CH_2)_p-CH(CO-)-NR^{20}-$;

$-NR^{20}-CH(CO-)-(-(CH_2)_pNR^{20}CO(CH_2)_p-CH(CO-)-NR^{20}-$;

$-NR^{20}-CH(CO-)-(-(CH_2)_pNR^{20}CONR^{20}(CH_2)_p-CH(CO-)-NR^{20}-$; and

I: $-NR^{86}CH_2CO-$;

~~R^{71} is lower alkenyl; $-(CH_2)_p(CHR^{64})_sOR^{75}$; $-(CH_2)_p(CHR^{64})_sSR^{75}$;~~

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 27

—(CH₂)_p(CHR⁶¹)_sOCONR³³R⁷⁵;
—(CH₂)_o(CHR⁶¹)_sCOOR⁷⁵; (CH₂)_pCONR⁵⁸R⁵⁹; (CH₂)_pPO(OR⁶²)₂; (CH₂)_pSO₂R⁶²; or
—(CH₂)_oC₆R⁶⁷R⁶⁸R⁶⁹R⁷⁰R⁷⁶;

R⁷² is H, lower alkyl; lower alkenyl; -(CH₂)_p(CHR⁶¹)_sOR⁸⁵; or -(CH₂)_p(CHR⁶¹)_sSR⁸⁵;

R⁷³ is -(CH₂)_oR⁷⁷; -(CH₂)_rO(CH₂)_oR⁷⁷; -(CH₂)_rS(CH₂)_oR⁷⁷; or -(CH₂)_rNR²⁰(CH₂)_oR⁷⁷;

R⁷⁴ is -(CH₂)_pNR⁷⁸R⁷⁹; -(CH₂)_pNR⁷⁷R⁸⁰; -(CH₂)_pC(=NR⁸⁰)NR⁷⁸R⁷⁹; -

(CH₂)_pC(=NOR⁵⁰)NR⁷⁸R⁷⁹;

-(CH₂)_pC(=NNR⁷⁸R⁷⁹)NR⁷⁸R⁷⁹; -(CH₂)_pNR⁸⁰C(=NR⁸⁰)NR⁷⁸R⁷⁹;

-(CH₂)_pN=C(NR⁷⁸R⁸⁰)NR⁷⁹R⁸⁰; -(CH₂)_pC₆H₄NR⁷⁸R⁷⁹; -(CH₂)_pC₆H₄NR⁷⁷R⁸⁰;

-(CH₂)_pC₆H₄C(=NR⁸⁰)NR⁷⁸R⁷⁹; -(CH₂)_pC₆H₄C(=NOR⁵⁰)NR⁷⁸R⁷⁹;

-(CH₂)_pC₆H₄C(=NNR⁷⁸R⁷⁹)NR⁷⁸R⁷⁹; -(CH₂)_pC₆H₄NR⁸⁰C(=NR⁸⁰)NR⁷⁸R⁷⁹;

-(CH₂)_pC₆H₄N=C(NR⁷⁸R⁸⁰)NR⁷⁹R⁸⁰; -(CH₂)_rO(CH₂)_mNR⁷⁸R⁷⁹; -(CH₂)_rO(CH₂)_mNR⁷⁷R⁸⁰;

-(CH₂)_rO(CH₂)_pC(=NR⁸⁰)NR⁷⁸R⁷⁹; -(CH₂)_rO(CH₂)_pC(=NOR⁵⁰)NR⁷⁸R⁷⁹;

-(CH₂)_rO(CH₂)_pC(=NNR⁷⁸R⁷⁹)NR⁷⁸R⁷⁹; -(CH₂)_rO(CH₂)_mNR⁸⁰C(=NR⁸⁰)NR⁷⁸R⁷⁹;

-(CH₂)_rO(CH₂)_mN=C(NR⁷⁸R⁸⁰)NR⁷⁹R⁸⁰; -(CH₂)_rO(CH₂)_pC₆H₄CNR⁷⁸R⁷⁹;

-(CH₂)_rO(CH₂)_pC₆H₄C(=NR⁸⁰)NR⁷⁸R⁷⁹; -(CH₂)_rO(CH₂)_pC₆H₄C(=NOR⁵⁰)NR⁷⁸R⁷⁹;

-(CH₂)_rO(CH₂)_pC₆H₄C(=NNR⁷⁸R⁷⁹)NR⁷⁸R⁷⁹;

-(CH₂)_rO(CH₂)_pC₆H₄NR⁸⁰C(=NR⁸⁰)NR⁷⁸R⁷⁹; -(CH₂)_rS(CH₂)_mNR⁷⁸R⁷⁹;

-(CH₂)_rS(CH₂)_mNR⁷⁷R⁸⁰; -(CH₂)_rS(CH₂)_pC(=NR⁸⁰)NR⁷⁸R⁷⁹;

-(CH₂)_rS(CH₂)_pC(=NOR⁵⁰)NR⁷⁸R⁷⁹; -(CH₂)_rS(CH₂)_pC(=NNR⁷⁸R⁷⁹)NR⁷⁸R⁷⁹;

-(CH₂)_rS(CH₂)_mNR⁸⁰C(=NR⁸⁰)NR⁷⁸R⁷⁹; -(CH₂)_rS(CH₂)_mN=C(NR⁷⁸R⁸⁰)NR⁷⁹R⁸⁰;

-(CH₂)_rS(CH₂)_pC₆H₄CNR⁷⁸R⁷⁹; -(CH₂)_rS(CH₂)_pC₆H₄C(=NR⁸⁰)NR⁷⁸R⁷⁹;

-(CH₂)_rS(CH₂)_pC₆H₄C(=NOR⁵⁰)NR⁷⁸R⁷⁹; -(CH₂)_rS(CH₂)_pC₆H₄C(=NNR⁷⁸R⁷⁹)NR⁷⁸R⁷⁹;

-(CH₂)_rS(CH₂)_pC₆H₄NR⁸⁰C(=NR⁸⁰)NR⁷⁸R⁷⁹; -(CH₂)_pNR⁸⁰COR⁶⁴; -(CH₂)_pNR⁸⁰COR⁷⁷;

-(CH₂)_pNR⁸⁰CONR⁷⁸R⁷⁹; or -(CH₂)_pC₆H₄NR⁸⁰CONR⁷⁸R⁷⁹;

R⁷⁵ is lower alkyl; lower alkenyl; or aryl-lower alkyl;

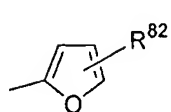
R³³ and R⁷⁵ taken together can form: -(CH₂)₂₋₆-; -(CH₂)₂O(CH₂)₂-; -(CH₂)₂S(CH₂)₂-; or

-(CH₂)₂NR⁵⁷(CH₂)₂-;

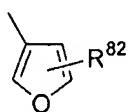
R^{75} and R^{82} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;

R^{76} is H; lower alkyl; lower alkenyl; aryl-lower alkyl; $-(CH_2)_oOR^{72}$; $-(CH_2)_oSR^{72}$; $-(CH_2)_oNR^{33}R^{34}$; $-(CH_2)_oOCONR^{33}R^{75}$; $-(CH_2)_oNR^{20}CONR^{33}R^{82}$; $-(CH_2)_oCOOR^{75}$; $-(CH_2)_oCONR^{58}R^{59}$; $-(CH_2)_oPO(OR^{60})_2$; $-(CH_2)_pSO_2R^{62}$; or $-(CH_2)_oCOR^{64}$;

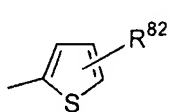
R^{77} is $\underline{R^{87}}-C_6R^{67}R^{68}R^{69}R^{70}R^{76}$, or a heteroaryl group of one of the formulae



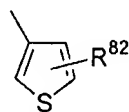
H1



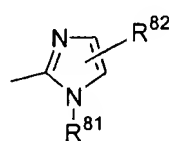
H2



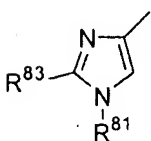
H3



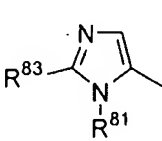
H4



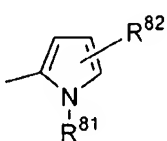
H5



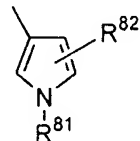
H6



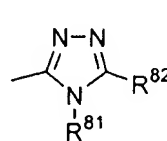
H7



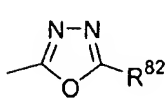
H8



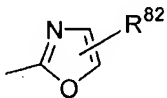
H9



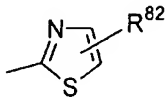
H10



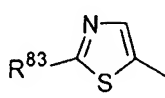
H11



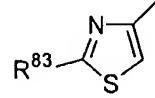
H12



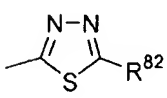
H13



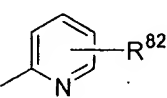
H14



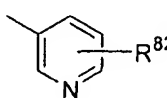
H15



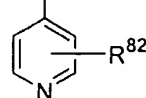
H16



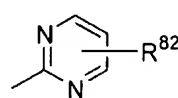
H17



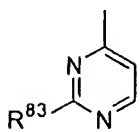
H18



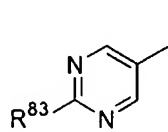
H19



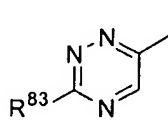
H20



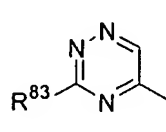
H21



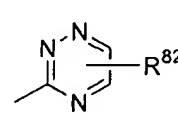
H22



H23



H24



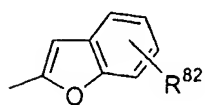
H25

Applicants: Zumbrunn et al.

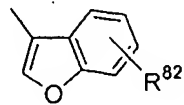
Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

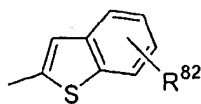
Page 29



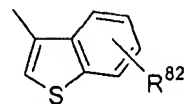
H26



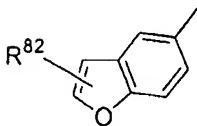
H27



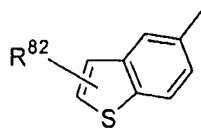
H28



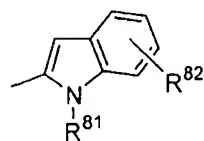
H29



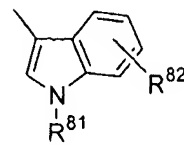
H30



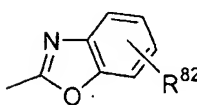
H31



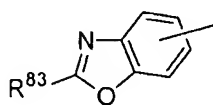
H32



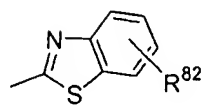
H33



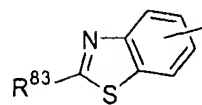
H34



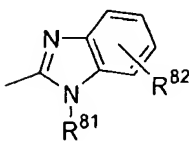
H35



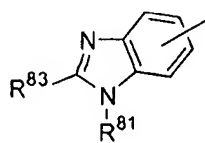
H36



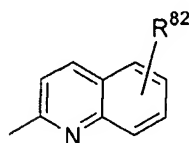
H37



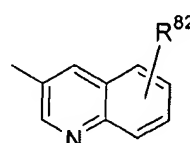
H38



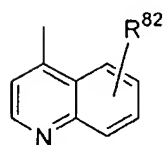
H39



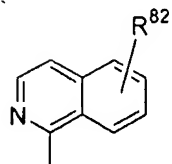
H40



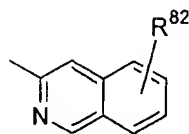
H41



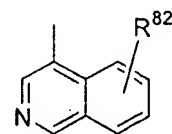
H42



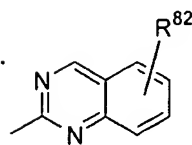
H43



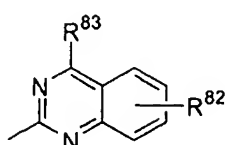
H44



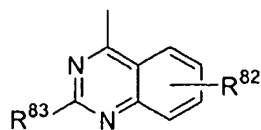
H45



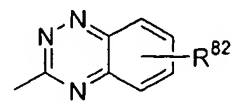
H46



H47



H48



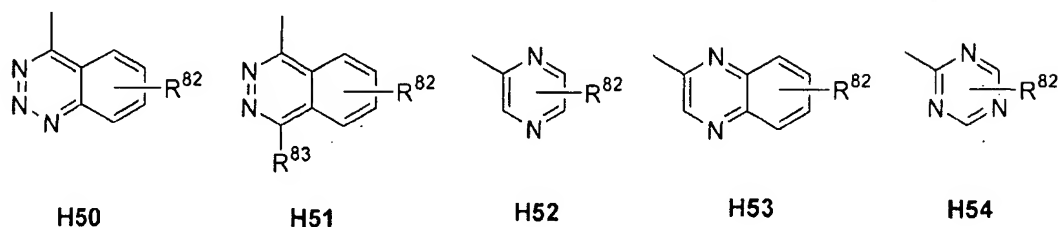
H49

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 30



R^{78} is H; lower alkyl; aryl; or aryl-lower alkyl;

R^{78} and R^{82} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;

R^{79} is H; lower alkyl; aryl; or aryl-lower alkyl; or

R^{78} and R^{79} , taken together, can be $-(CH_2)_{2-7}-$; $-(CH_2)_2O(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;

R^{80} is H; or lower alkyl;

R^{81} is H; lower alkyl; or aryl-lower alkyl;

R^{82} is H; lower alkyl; aryl; heteroaryl; or aryl-lower alkyl;

R^{33} and R^{82} taken together can form: $-(CH_2)_{2-6}-$; $-(CH_2)_2O(CH_2)_2-$; $-(CH_2)_2S(CH_2)_2-$; or $-(CH_2)_2NR^{57}(CH_2)_2-$;

R^{83} is H; lower alkyl; aryl; or $-NR^{78}R^{79}$;

R^{84} is $-(CH_2)_pCONR^{78}R^{79}$; $-(CH_2)_pNR^{80}CONR^{78}R^{79}$; $-(CH_2)_pC_6H_4CONR^{78}R^{79}$; or $-(CH_2)_pC_6H_4NR^{80}CONR^{78}R^{79}$;

R^{85} is lower alkyl; or lower alkenyl;

R^{86} is R^{74} ; $-[(CH_2)_u-X]_t-(CH_2)_vNR^{78}R^{79}$; $-[(CH_2)_u-X]_t-(CH_2)_v-C(=NR^{80})NR^{78}R^{79}$; X is $-O-$, $-NR^{20}-$, $-S-$, $-OCOO-$, u is 1-3, t is 1-6, v is 1-3;

R^{87} is phenyl, p-hydroxyphenyl, 2-naphthyl, 1-naphthyl, 4-chlorophenyl, 3-chlorophenyl, 2-chlorophenyl, 3,4-dichlorophenyl, 4-fluorophenyl, 3-fluorophenyl, 2-fluorophenyl, p-benzyloxyphenyl, p-biphenyl or p-benzoylphenyl.

with the proviso that in said chains Z and Z' of n and , respectively, n' α -amino acid residues

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 31

- if n is 4 and n' is 6, the amino acid residues in positions 1 to 4 of Z and in positions 1' to 6' of Z' are:

- P1: of type C or of type D or of type E or of type F, or the residue is Pro;
- P2: of type E or of type F;
- P3: of type F, or the residue is Pro;
- P4: of type E;

- P1': of type C or of type D or of type E or of type F, or the residue is Gly;
- P2': of type D or of type C;
- P3': of type F or the residue is Pro;
- P4': of type D or of type C;
- P5': of type E, or of type F or the residue is Pro; and
- P6': of type E or of type F, or the residue is Pro; or

- P3 and P3', taken together, can form a group of type H;

and

- if n is 5 and n' is 7, the amino acid residues in positions 1 to 5 of Z and in positions 1' to 7' of Z' are:

- P1: of type C or of type D or of type E or of type F, or the residue is Pro;
- P2: of type E or of type F;
- P3: of type F, or the residue is Pro;
- P4: of type F;
- P5: of type E

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 32

- P1': of type C or of type D or of type E or of type F, or the residue is Pro;
 - P2': of type F;
 - P3': of type D or the residue is Pro;
 - P4': of type E or of type F;
 - P5': of type D, or the residue is Pro;
 - P6': of type E or of type F, or the residue is Pro; and
 - P7': of type E or of type I, or the residue is Gly; or
-
- P2 and P2' and/or P4 and P4', taken together, can form a group of type H;

at P7' also D-isomers being possible,

and pharmaceutically acceptable salts thereof.

41-46. (Canceled)

47. (Previously presented) Compounds according to claim 40, wherein B is a group, having (L)-configuration, of formula A8" as shown in claim 40 in which R⁶⁴ is n-hexyl; n-heptyl; 4-(phenyl)benzyl; diphenylmethyl, 3-amino-propyl; 5-amino-pentyl; methyl; ethyl; isopropyl; isobutyl; n-propyl; cyclohexyl; cyclohexylmethyl; n-butyl; phenyl; benzyl; (3-indolyl)methyl; 2-(3-indolyl)ethyl; (4-phenyl)phenyl; or n-nonyl.

48. (Previously presented) Compounds according to claim 40, wherein n is 4, n' is 6 and the α -amino acid residues in positions 1 to 4 of the chain Z and 1'-6' in chain Z' are:

- P1: of type D or of type E or of type F, or the residue is Pro;
- P2: of type E or of type F;
- P3: of type F, or the residue is Pro;

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 33

- P4: of type E;
- P1': of type E or of type F, or the residue is Gly;
- P2': of type D;
- P3': of type F or the residue is Pro;
- P4': of type D;
- P5': of type E, or of type F or the residue is Pro; and
- P6': of type E or of type F, or the residue is Pro; or
- P3 and P3', taken together, can form a group of type H

49. (Previously presented) Compounds according to claim 40, wherein n is 5, n' is 7 and the α -amino acid residues in positions 1 to 5 of the chain Z and 1'-7' in chain Z¹ are:

- P1: of type D or of type E or of type F, or the residue is Pro;
- P2: of type E or of type F;
- P3: of type F, or the residue is Pro;
- P4: of type F;
- P5: of type E
- P1': of type D or of type E or of type F, or the residue is Pro;
- P2': of type F;
- P3': of type D or the residue is Pro;
- P4': of type F;
- P5': of type D, or the residue is Pro;
- P6': of type E or of type F, or the residue is Pro; and
- P7': of type E or of type I, or the residue is Gly; or
- P2 and P2' and/or P4 and P4', taken together, can form a group of type H; at P7' also D-isomers being possible.

50. (Previously presented) Compounds according to claim 48, wherein the α -amino acid residues in positions 1 to 4 of the chain Z and the α -amino acid residues in positions 1' to 6' chain Z¹ are:

- P1: Tyr, or Arg;
- P2: Cit, or Arg;
- P3: Cys;
- P4: Arg-NH₂;
- P1': Lys, or Arg;
- P2': Tyr;
- P3': Cys;
- P4': 2-Nal;
- P5': Arg;
- P6': Arg; and

Cys at P3 and P3' can form a disulfide bridge.

51. (Previously presented) Compounds according to claim 49, wherein the α -amino acid residues in positions 1 to 5 of the chain Z and the α -amino acid residues in positions 1' to 7' chain Z¹ are:

- P1: Tyr;
- P2: Arg;
- P3: Cit;
- P4: Cys;
- P5: Arg, or Arg-NH₂;
- P1': Lys;
- P2': Cit;
- P3': Tyr;
- P4': Cys;

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 35

- P5': 2-Nal, Trp, F(pNH₂), or W(6-Cl);
- P6': Arg;
- P7': ^DArg, Arg, Ac-Arg, iPr-Arg, (EA)G, (PrA)G, (BA)G, (EGU)G, (PrGU)G, or (BGU)G; and

Cys at P4 and P4' can form a disulfide bridge.

52. (Previously presented) A compound of formula I according to claim 40, wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z¹ are:

- P1: Tyr;
- P2: Arg;
- P3: Cit;
- P4: Cys;
- P5: Arg-NH₂;
- P1': Lys;
- P2': Cit;
- P3': Tyr;
- P4': Cys;
- P5': 2-Nal;
- P6': Arg; and
- P7': Arg;

Cys at P4' and P4 forming a disulfide bridge.

53. (Previously presented) A compound of formula I according to claim 40, wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z¹ are:

- P1: Tyr;
- P2: Arg;

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 36

- P3: Cit;
- P4: Cys;
- P5: Arg-NH₂;
- P1': Lys;
- P2': Cit;
- P3': Tyr;
- P4': Cys;
- P5': 2-Nal;
- P6': Arg; and
- P7': Ac-Arg;

Cys at P4' and P4 forming a disulfide bridge.

54. (Previously presented) A compound of formula I according to claim 40, wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

- P1: Tyr;
- P2: Arg;
- P3: Cit;
- P4: Cys;
- P5: Arg-NH₂;
- P1': Lys;
- P2': Cit;
- P3': Tyr;
- P4': Cys;
- P5': 2-Nal
- P6': Arg; and
- P7': ^DArg;

Cys at P4' and P4 forming a disulfide bridge.

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 37

55. (Previously presented) A compound of formula I according to claim 40, wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z¹ are:

- P1: Tyr;
- P2: Arg;
- P3: Cit;
- P4: Cys;
- P5: Arg-NH₂;
- P1': Lys;
- P2': Cit;
- P3': Tyr;
- P4': Cys;
- P5': Phe(pNH₂);
- P6': Arg; and
- P7': Arg;

Cys at P4' and P4 forming a disulfide bridge.

56. (Previously presented) A compound of formula I according to claim 40, wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z¹ are:

- P1: Tyr;
- P2: Arg;
- P3: Cit;
- P4: Cys;
- P5: Arg-NH₂;
- P1': Lys;
- P2': Cit;

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 38

- P3': Tyr;
- P4': Cys;
- P5': 2-Nal;
- P6': Arg; and
- P7': (PrA)G;

Cys at P4' and P4 forming a disulfide bridge.

57. (Previously presented) A compound of formula I according to claim 40, wherein the template is ^DPro-^LPro, n is 5, n' is 7 and the amino acid residues in positions 1 to 5 of the chain Z and the amino acid residues in positions 1' to 7' chain Z' are:

- P1: Tyr;
- P2: Arg;
- P3: Cit;
- P4: Cys;
- P5: Arg;
- P1': Lys;
- P2': Cit;
- P3': Tyr;
- P4': Cys;
- P5': 2-Nal;
- P6': Arg; and
- P7': Arg;

Cys at P4' and P4 forming a disulfide bridge.

58. (Previously presented) Enantiomers of the compounds of formulae I as defined in claim 40.

Applicants: Zumbrunn et al.

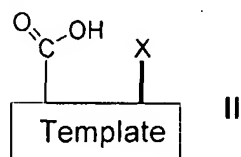
Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

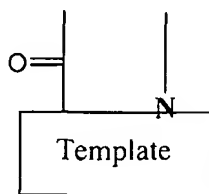
Page 39

59. (Previously presented) Compounds according to claim 40, for use as therapeutically active substances.
60. (Previously presented) Compounds according to claim 59, for use as CXCR4 antagonists.
61. (Previously presented) A pharmaceutical composition containing a compound according to claim 40 and a pharmaceutically inert carrier.
62. (Previously presented) Compositions according to claim 61 in a form suitable for a mode of administration selected from the group consisting of oral, topical, transdermal, injection, buccal, transmucosal, pulmonary and inhalation.
63. (Previously presented) Compositions according to claim 61 in a form selected from the group consisting of tablets, dragees, capsules, solutions, liquids, gels, plaster, creams, ointments, syrup, slurries, suspensions, spray, nebuliser or suppositories.
64. (Previously presented) Compositions according to claim 62 in a form selected from the group consisting of tablets, dragees, capsules, solutions, liquids, gels, plaster, creams, ointments, syrup, slurries, suspensions, spray, nebuliser or suppositories.
65. (Previously presented) A method for treating and/or preventing a disorder selected from the group consisting of HIV infections, cancer and inflammatory disorders, the method comprising:
administering to a subject in need thereof a compound according to claim 40.
66. (Currently amended) A process for the manufacture of compounds according to ~~any one~~ of claim 40, which process comprises

- (a) coupling an appropriately functionalized solid support with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 4 of Z if n is 4 or in position 5 of Z if n is 5, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (b) removing the N-protecting group from the product thus obtained;
- (c) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in Z of the desired end-product is one position nearer the N-terminal amino acid residue, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;
- (d) removing the N-protecting group from the product thus obtained;
- (e) repeating steps (c) and (d) until the N-terminal amino acid residue of Z has been introduced;
- (f) coupling the product thus obtained with a compound of the general formula



wherein



is as defined in claim 40 and X is an N-protecting group; or, alternatively,

- (fa) coupling the product obtained in step (e) with an appropriately N-protected derivative of an amino acid of the general formula



III

or



IV

wherein B and A are as defined in claim 40, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(fb) removing the N-protecting group from the product thus obtained; and

(fc) coupling the product thus obtained with an appropriately N-protected derivative of an amino acid of the above general formula IV and, respectively, III, any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(g) removing the N-protecting group from the product obtained in step (f) or (fc);

(h) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is in position 1 of Z^1 , any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(i) removing the N-protecting group from the product thus obtained;

(j) coupling the product thus obtained with an appropriately N-protected derivative of that amino acid which in the desired end-product is one position farther away from position 1 of Z^1 , any functional group which may be present in said N-protected amino acid derivative being likewise appropriately protected;

(k) removing the N-protecting group from the product thus obtained;

(l) repeating steps (j) and (k) until all amino acid residues of Z^1 have been introduced;

(m) if desired, selectively deprotecting one or several protected functional group(s) present in the molecule and appropriately substituting the reactive group(s) thus liberated;

(n) if desired, forming one or two interstrand linkage(s) between side-chains of appropriate amino acid residues at opposite positions of the β -strand region;

(o) detaching the product thus obtained from the solid support and removing any protecting groups present on functional groups of any members of the chain of amino acid residues and, if desired, any protecting group(s) which may in addition be present in the molecule; and

(p) if desired, converting the product thus obtained into a pharmaceutically acceptable salt or converting a pharmaceutically acceptable, or unacceptable, salt thus obtained into the corresponding free compound of formula I or into a different, pharmaceutically acceptable, salt.

Applicants: Zumbrunn et al.

Application No. 10/550,778

Amendment and Response to Restriction Requirement dated June 16, 2009

Page 42

67. (Previously presented) A process according to claim 66, but wherein an amino acid residue of type I is introduced by coupling with a leaving group-containing acetylating agent, followed by nucleophilic displacement with an amine of the formula H_2NR^{86} which, if necessary, is appropriately protected.

68. (Previously presented) A process according to claim 67 wherein the leaving group in said leaving group-containing acetylating agent is bromo, chloro or iodo acetic acid.

69. (Previously presented) A modification of the process according to claim 66 for the manufacture of compounds according to claim 56 in which enantiomers of all chiral starting materials are used.

70. (Previously presented) A modification of the process according to claim 67 for the manufacture of compounds according to claim 56 in which enantiomers of all chiral starting materials are used.